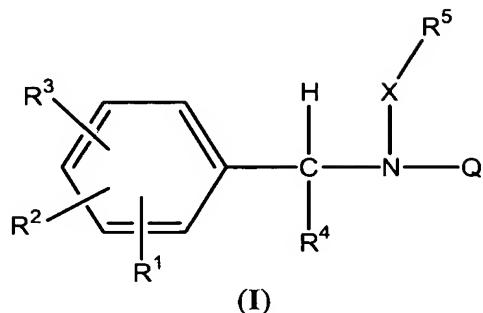


CLAIMS

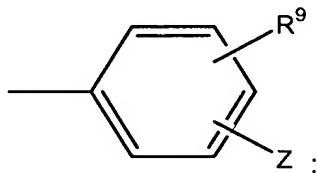
1. A compound of structural formula (I)



5

the pharmaceutically acceptable salts, stereoisomers, and prodrugs thereof, and the pharmaceutically acceptable salts of said stereoisomers and prodrugs, wherein:

Q is



10

or a six-membered heteroaryl ring containing one or two nitrogen atoms, wherein said heteroaryl ring is optionally substituted with R⁹ and/or Z;

15 R¹, R², R³, and R⁹ are, independently, hydrogen; hydroxy; halogen; cyano; -(C₁-C₆)alkyl, optionally substituted with 1-3 fluorine atoms; and -O(C₁-C₆)alkyl, optionally substituted with 1-3 fluorine atoms;

R⁴ is hydrogen or -(C₁-C₆)alkyl;

20 R⁵ is -(C₁-C₇)alkyl, optionally substituted with from 1-6 halogen atoms; -(C₂-C₆)alkenyl; -(C₂-C₆)alkenyl-M; or -(CH₂)_n-M, wherein n is 0-5; and wherein M is:

(i) a fully saturated 3-8 membered ring, or a partially saturated, or fully saturated 5-8 membered ring, optionally having from 1-4 heteroatoms independently selected from the group consisting of oxygen, nitrogen, and sulfur; or

(ii) a bicyclic ring comprising two fused partially saturated, fully saturated, or fully unsaturated 5- or 6-membered rings, optionally having from 1-4 heteroatoms independently selected from the group consisting of oxygen, nitrogen and sulfur; wherein

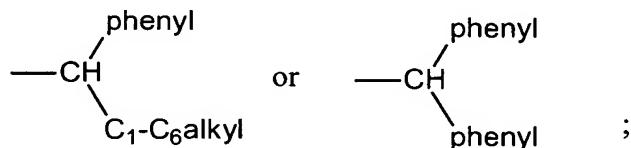
5 M is optionally substituted with from 1-3 substituents independently selected from the group consisting of hydroxy; halogen; cyano; nitro; formyl; amino; carbamoyl; thiol; $-(C_1-C_6)alkyl$ or $-O(C_1-C_6)alkyl$, optionally substituted with from 1-5 halogen atoms; $-(C_3-C_8)cycloalkyl$ or phenyl, optionally substituted with from 1-3 halogen atoms; $-SO(C_1-C_6)alkyl$ or $-SO_2(C_1-C_6)alkyl$, optionally substituted with from 10 1-5 halogen atoms; $-S(C_1-C_6)alkyl$, optionally substituted with from 1-5 halogen atoms; $-(C_1-C_4)alkoxycarbonyl$; $-(C_1-C_6)alkyl-(C_3-C_8)cycloalkyl$; $-(C_0-C_4)sulfonamido$; mono-N- or di-N,N- $(C_1-C_4)alkylcarbamoyl$; mono-N or di-N,N- $(C_1-C_4)alkylamino-SO_2$; mono-N or di-N,N- $(C_1-C_4)alkylamino$; $-(C_1-C_8)alkanoyl$; $-(C_1-C_4)alkanoylamino$; or $-(C_1-C_4)alkoxycarbonylamino$;

15

X is CO or SO_2 ;

20 Z is $-O(CH_2)_n-NR^aR^b$; $-(CH_2)_n-NR^aR^b$; $-CH=CH-C(O)-NR^aR^b$; $-(CH_2)_n-COOH$; $-CH=CH-COOH$; $-O(C_1-C_6)alkyl$; $-CH=CH-C(O)O(C_1-C_6)alkyl$; and $-(CH_2)_n-OH$; wherein each n is 0-5 inclusive, provided that when Z is $-O-(CH_2)_n-NR^aR^b$, n is 2-5;

R^a and R^b are, independently, hydrogen; $-(C_1-C_6)alkyl$; $-(CH_2)_n-(C_3-C_8)cycloalkyl$; $-(CH_2)_{2-5}OH$; $-(CH_2)_n-phenyl$; $-(CH_2)_n-heteroaryl$; $-(CH_2)_n-heterocycloalkyl$; and



25 wherein each n is 0-5 inclusive, and wherein said cycloalkyl, phenyl, heteroaryl, and heterocycloalkyl is optionally substituted with from 1-3 substituents independently selected from the group consisting of hydroxy; halogen; cyano; nitro; amino; carbamoyl; $-(C_1-C_6)alkyl$ or $-O(C_1-C_6)alkyl$, optionally substituted with from 1-5 halogen atoms; $-(C_1-C_3)alkyl-O(C_1-C_3)alkyl$; $-(C_1-C_4)OH$; carboxylate; $-(C_1-C_3)phenyl$; $-(C_3-C_8)cycloalkyl$; phenyl, optionally substituted with from 1-3 halogen atoms; $-SO(C_1-C_6)alkyl$ or $-SO_2(C_1-C_6)alkyl$, optionally substituted with from 1-5 halogen atoms; -

S(C₁-C₆)alkyl, optionally substituted with from 1-5 halogen atoms; -(C₁-C₄)alkoxycarbonyl; -(C₁-C₆)alkyl-(C₃-C₈)cycloalkyl; sulfonamido; -(C₁-C₄)alkylsulfonamido; mono-N- or di-N,N-(C₁-C₄)alkylcarbamoyl; mono-N or di-N,N-(C₁-C₄)alkylamino-SO₂; mono-N or di-N,N-(C₁-C₄)alkylamino; -(C₁-C₈)alkanoyl; -(C₁-C₄)alkanoylamino; or -(C₁-C₄)alkoxycarbonylamino; or

R^a and R^b, taken together with the nitrogen atom to which they are attached, form a 3-7 membered heterocycloalkyl ring having from 1-2 heteroatoms independently selected from the group consisting of nitrogen, oxygen, and sulfur; or a 5-7 membered ring fused to a phenyl ring, wherein said 3-7 membered heterocycloalkyl ring, or said 5-7 membered ring fused to a phenyl ring, is optionally substituted with from 1-3 substituents independently selected from the group consisting of hydroxy; halogen; cyano; nitro; amino; carbamoyl; -(C₁-C₆)alkyl or -O(C₁-C₆)alkyl, optionally substituted with from 1-5 halogen atoms; -(C₁-C₃)alkyl-O(C₁-C₃)alkyl; -(C₁-C₄)OH; carboxylate; -(C₁-C₃)phenyl; -(C₃-C₈)cycloalkyl; phenyl, optionally substituted with from 1-3 halogen atoms; -SO(C₁-C₆)alkyl or -SO₂(C₁-C₆)alkyl, optionally substituted with from 1-5 halogen atoms; -S(C₁-C₆)alkyl, optionally substituted with from 1-5 halogen atoms; -(C₁-C₄)alkoxycarbonyl; -(C₁-C₆)alkyl-(C₃-C₈)cycloalkyl; -(C₁-C₄)sulfonamido; -(C₁-C₄)cycloalkylsulfonamido; mono-N- or di-N,N-(C₁-C₄)alkylcarbamoyl; mono-N or di-N,N-(C₁-C₄)alkylamino-SO₂; mono-N or di-N,N-(C₁-C₄)alkylamino; -(C₁-C₈)alkanoyl; -(C₁-C₄)alkanoylamino; or -(C₁-C₄)alkoxycarbonylamino.

2. A compound of claim 1, wherein:

25 Q is phenyl; pyridyl; pyrimidyl; or pyrazinyl, each optionally substituted with R⁹ and/or Z;

R⁵ is -(C₁-C₆)alkyl, optionally substituted with from 1-6 halogen atoms; -(C₂-C₆)alkenyl; -(C₂-C₆)alkenyl-M; or -(CH₂)_n-M, wherein n is 1 to 3; and M is selected from the group consisting of cyclopropyl; cyclobutyl; cyclopentyl; cyclohexyl; phenyl; quinolinyl; isoquinolinyl; naphthalenyl; isoxazolyl; oxazolyl; thiazolyl; furanyl; isothiazolyl; thienyl; imidazolyl; pyrazolyl; pyridyl; pyrimidyl; and pyrazinyl, each optionally substituted with from 1-3 substituents independently selected from the

group consisting of hydroxy; halogen; cyano; nitro; formyl; amino; carbamoyl; thiol; -(C₁-C₆)alkyl or -O(C₁-C₆)alkyl, optionally substituted with from 1-5 halogen atoms; -(C₃-C₈)cycloalkyl or phenyl, optionally substituted with from 1-3 halogen atoms; -SO(C₁-C₆)alkyl or -SO₂(C₁-C₆)alkyl, optionally substituted with from 1-5 halogen atoms; -S(C₁-C₆)alkyl, optionally substituted with from 1-5 halogen atoms; -(C₁-C₄)alkoxycarbonyl; -(C₁-C₆)alkyl-(C₃-C₈)cycloalkyl; -(C₀-C₄)sulfonamido; mono-N- or di-N,N-(C₁-C₄)alkylcarbamoyl; mono-N or di-N,N-(C₁-C₄)alkylamino-SO₂; mono-N or di-N,N-(C₁-C₄)alkylamino; -(C₁-C₈)alkanoyl; -(C₁-C₄)alkanoylamino; or -(C₁-C₄)alkoxycarbonylamino;

10 R^a and R^b are, independently, hydrogen; -(C₁-C₆)alkyl; -(CH₂)_n-(C₃-C₈)cycloalkyl; -(CH₂)_n-OH; -(CH₂)_n-phenyl; -(CH₂)_n-heteroaryl; and -(CH₂)_n-heterocycloalkyl; wherein each n is 1 to 5 inclusive, and said heteroaryl is selected from the group consisting of isoxazolyl; oxazolyl; thiazolyl; isothiazolyl; thienyl; furanyl; imidazolyl; pyrazolyl; pyridyl; pyrimidyl; pyrazinyl; triazolyl; thiadiazolyl; oxadiazolyl; pyridazinyl; and triazinyl, each optionally substituted with from 1-3 substituents independently selected from the group consisting of hydroxy; halogen; cyano; nitro; amino; carbamoyl; -(C₁-C₆)alkyl or -O(C₁-C₆)alkyl, optionally substituted with from 1-5 halogen atoms; -(C₁-C₃)alkyl-O(C₁-C₃)alkyl; -(C₁-C₄)OH; carboxylate; -(C₁-C₃)phenyl; -(C₃-C₈)cycloalkyl; 20 phenyl, optionally substituted with from 1-3 halogen atoms; and -(C₁-C₄)alkoxycarbonyl; -(C₁-C₆)alkyl-(C₃-C₈)cycloalkyl; or

R^a and R^b, taken together with the nitrogen atom to which they are attached, form a heterocycloalkyl ring selected from the group consisting of piperidine; pyrrolidine; 25 morpholine; piperazine; tetrahydro-2H-1,4-thiazine; azacycloheptane; tetrahydroisoquinoline; tetrahydroquinoline; azetidine; benzazepine; 1,3-dihydroisoindole; and indoline; each optionally substituted with from 1-3 substituents independently selected from the group consisting of hydroxy; halogen; cyano; nitro; amino; carbamoyl; -(C₁-C₆)alkyl or -O(C₁-C₆)alkyl, optionally substituted with from 1-5 halogen atoms; -(C₁-C₃)alkyl-O(C₁-C₃)alkyl; -(C₁-C₄)OH; carboxylate; -(C₁-C₃)phenyl; -(C₃-C₈)cycloalkyl; phenyl, optionally substituted with from 1-3 halogen atoms; -(C₁-C₄)alkoxycarbonyl; and -(C₁-C₆)alkyl-(C₃-C₈)cycloalkyl.

3. A compound of claim 1, wherein:

Q is phenyl;

5 R¹, R², R³, and R⁹ are, independently, hydrogen; hydroxy; halogen; -(C₁-C₄)alkyl, optionally substituted with 1-3 fluorine atoms; and -O(C₁-C₂)alkyl, optionally substituted with 1-3 fluorine atoms;

R⁴ is hydrogen;

10 R⁵ is -(ethenyl)-M or -M, wherein M is cyclopentyl, cyclohexyl, phenyl, or isoxazolyl, optionally substituted with from 1-5 halogen atoms; -(C₁-C₄)alkyl, optionally substituted with from 1-3 halogen atoms; or -O(C₁-C₄)alkyl, optionally substituted with from 1-3 halogen atoms;

15 Z is -O(CH₂)_n-NR^aR^b; -(CH₂)_n-NR^aR^b; -CH=CH-C(O)-NR^aR^b; -O(C₁-C₆)alkyl; and -(CH₂)_n-OH; wherein each n is 1-5 inclusive, provided that when Z is -O-(CH₂)_n-NR^aR^b, n is 2-4; and

20 R^a and R^b are, independently, hydrogen; -(C₁-C₄)alkyl; -(CH₂)_n-(C₅-C₇)cycloalkyl; -(CH₂)_n-OH; -(CH₂)_n-phenyl; -(CH₂)_n-heteroaryl; and -(CH₂)_n-heterocycloalkyl; wherein each n is 1-3 inclusive, and said heteroaryl is pyridyl or imidazolyl, wherein each of said pyridyl or imidazolyl is optionally substituted with from 1-3 substituents independently selected from the group consisting of hydroxy; halogen; -(C₁-C₄)alkyl, optionally substituted with from 1-5 halogen atoms; -(C₁-C₃)alkyl-O(C₁-C₃)alkyl; -(C₁-C₃)OH; carboxylate; -(C₁-C₃)phenyl; -(C₅-C₇)cycloalkyl; and phenyl, optionally substituted with from 1-3 halogen atoms; or

30 R^a and R^b, taken together with the nitrogen atom to which they are attached, form a heterocycloalkyl ring selected from the group consisting of piperidine; pyrrolidine; morpholine; piperazine; tetrahydroisoquinoline; tetrahydroquinoline; and tetrahydro-2H-1,4-thiazine, each optionally substituted with from 1-3 substituents independently selected from the group consisting of hydroxy; halogen; -(C₁-C₄)alkyl, optionally substituted with from 1-5 halogen atoms; -(C₁-C₃)alkyl-O(C₁-C₃)alkyl; -(C₁-C₃)OH;

carboxylate; -(C₁-C₃)phenyl; -(C₅-C₇)cycloalkyl; and phenyl, optionally substituted with from 1-3 halogen atoms.

4. A compound of claim 1, wherein:

5

Q is phenyl;

R¹, R², R³, and R⁹ are, independently, hydrogen; hydroxy; halogen; -(C₁-C₃)alkyl, or -CF₃;

10

R⁵ is ethenylphenyl; cyclohexyl; or phenyl, each optionally substituted with from 1-3 substituents independently selected from the group consisting of halogen, hydroxy, -(C₁-C₃)alkyl, -CF₃; and -OCH₃;

15

X is CO or SO₂;

Z is -O(CH₂)₂-NR^aR^b; or -(CH₂)₃-NR^aR^b; and

20

R^a and R^b are, independently, hydrogen or -(C₅-C₇)cycloalkyl, optionally substituted with from 1-3 substituents independently selected from the group consisting of hydroxy; halogen; -(C₁-C₃)alkyl, optionally substituted with from 1-3 halogen atoms; -(C₁-C₂)alkyl-O(C₁-C₂)alkyl; -(C₁-C₂)OH; carboxylate; and -CH₂-phenyl; or

25

R^a and R^b, taken together with the nitrogen atom to which they are attached, form a heterocycloalkyl ring selected from the group consisting of piperidine; pyrrolidine; morpholine; and tetrahydro-2H-1,4-thiazine, each optionally substituted with from 1-3 substituents independently selected from the group consisting of hydroxy; halogen; -(C₁-C₃)alkyl, optionally substituted with from 1-3 halogen atoms; -(C₁-C₂)alkyl-(C₁-C₂)alkoxy; -(C₁-C₂)OH; carboxylate; and -CH₂-phenyl.

30

5. A compound of claim 1 selected from the group consisting of:

cyclohexanecarboxylic acid (4-hydroxy-benzyl)-[4-(2-pyrrolidin-1-yl-ethoxy)-phenyl]-amide;

cyclohex-3-enecarboxylic acid (4-hydroxy-benzyl)-[4-(2-pyrrolidin-1-yl-ethoxy)-phenyl]-amide;

2-phenyl-ethenesulfonic acid (4-hydroxy-benzyl)-[4-(2-pyrrolidin-1-yl-ethoxy)-phenyl]-amide;

5 N-(3-hydroxy-benzyl)-4-methoxy-N-[4-(2-pyrrolidin-1-yl-ethoxy)-phenyl]-benzenesulfonamide;

2-phenyl-ethenesulfonic acid (3-hydroxy-benzyl)-[4-(2-pyrrolidin-1-yl-ethoxy)-phenyl]-amide;

10 N-{4-[3-(4-benzyl-piperidin-1-yl)-propyl]-phenyl}-N-(4-hydroxy-benzyl)-benzenesulfonamide;

2-chloro-N-(4-hydroxy-benzyl)-N-[4-(2-pyrrolidin-1-yl-ethoxy)-phenyl]-benzenesulfonamide;

15 N-(4-hydroxy-benzyl)-2,4,6-trimethyl-N-[4-(2-pyrrolidin-1-yl-ethoxy)-phenyl]-benzenesulfonamide;

N-(3-hydroxy-benzyl)-2,4,6-trimethyl-N-[4-(2-pyrrolidin-1-yl-ethoxy)-phenyl]-benzenesulfonamide;

20 4-[1-(4-methoxy-benzenesulfonyl)-6-(2-pyrrolidin-1-yl-ethoxy)-1,2,3,4-tetrahydro-quinolin-2-yl]-phenol;

N-(3-hydroxy-benzyl)-2,4,6-triisopropyl-N-[4-(2-pyrrolidin-1-yl-ethoxy)-phenyl]-benzenesulfonamide;

25 2,4-dichloro-N-(3-hydroxy-benzyl)-6-methyl-N-[4-(2-pyrrolidin-1-yl-ethoxy)-phenyl]-benzenesulfonamide;

N-(3-hydroxy-benzyl)-N-[4-(2-pyrrolidin-1-yl-ethoxy)-phenyl]-4-trifluoromethyl-benzamide;

30 5-chloro-N-(4-hydroxy-benzyl)-2-methyl-N-[4-(2-pyrrolidin-1-yl-ethoxy)-phenyl]-benzenesulfonamide;

4-bromo-N-(2-chloro-4-hydroxy-benzyl)-2-methyl-N-[4-(2-pyrrolidin-1-yl-ethoxy)-phenyl]-benzenesulfonamide;

2-chloro-N-(2-chloro-4-hydroxy-benzyl)-4-fluoro-N-[4-(2-pyrrolidin-1-yl-ethoxy)-phenyl]-benzenesulfonamide;

2,4-dichloro-N-(2-chloro-4-hydroxy-benzyl)-N-[4-(2-pyrrolidin-1-yl-ethoxy)-phenyl]-benzenesulfonamide;

35 4-bromo-2-ethyl-N-(4-hydroxy-benzyl)-N-[4-(2-pyrrolidin-1-yl-ethoxy)-phenyl]-benzenesulfonamide;

4-bromo-N-(4-hydroxy-benzyl)-2-methyl-N-[4-(2-pyrrolidin-1-yl-ethoxy)-phenyl]-benzenesulfonamide;

2,4-dichloro-N-(4-hydroxy-benzyl)-6-methyl-N-[4-(2-pyrrolidin-1-yl-ethoxy)-phenyl]-benzenesulfonamide;

5 2,4-dichloro-N-(4-hydroxy-benzyl)-N-[4-(2-pyrrolidin-1-yl-ethoxy)-phenyl]-benzenesulfonamide;

N-(3-hydroxy-benzyl)-2,4,6-trimethyl-N-[4-(3-pyrrolidin-1-yl-propyl)-phenyl]-benzenesulfonamide;

N-(3-hydroxy-benzyl)-N-[4-[3-(2-hydroxymethyl-pyrrolidin-1-yl)-propyl]-phenyl]-2,4,6-trimethyl-benzenesulfonamide;

10 N-[4-(3-cyclopentylamino-propyl)-phenyl]-N-(3-hydroxy-benzyl)-2,4,6-trimethyl-benzenesulfonamide;

N-(3-hydroxy-benzyl)-2,4,6-trimethyl-N-[4-(2-piperidin-1-yl-ethoxy)-phenyl]-benzenesulfonamide;

15 N-(3-hydroxy-benzyl)-2,4,6-trimethyl-N-[4-(3-thiomorpholin-4-yl-propyl)-phenyl]-benzenesulfonamide;

N-[4-[3-(2,6-dimethyl-morpholin-4-yl)-propyl]-phenyl]-N-(3-hydroxy-benzyl)-2,4,6-trimethyl-benzenesulfonamide;

N-(3-hydroxy-benzyl)-2,4,6-trimethyl-N-[4-[3-(4-methyl-piperidin-1-yl)-propyl]-phenyl]-benzenesulfonamide;

20 N-(3-hydroxy-benzyl)-2,4,6-trimethyl-N-[4-[3-(2-propyl-piperidin-1-yl)-propyl]-phenyl]-benzenesulfonamide;

N-(3-hydroxy-benzyl)-2,4,6-trimethyl-N-[4-[3-(2-methyl-piperidin-1-yl)-propyl]-phenyl]-benzenesulfonamide;

25 N-(3-hydroxy-benzyl)-2,4,6-trimethyl-N-[4-[3-(2-methyl-pyrrolidin-1-yl)-propyl]-phenyl]-benzenesulfonamide;

N-(3-hydroxy-benzyl)-2,4,6-trimethyl-N-[4-(3-piperidin-1-yl-propyl)-phenyl]-benzenesulfonamide;

N-(2-chloro-4-hydroxy-benzyl)-N-[4-[3-(2-methoxymethyl-pyrrolidin-1-yl)-propyl]-phenyl]-2,4,6-trimethyl-benzenesulfonamide;

30 1-(3-[4-[(2-chloro-4-hydroxy-benzyl)-(2,4,6-trimethyl-benzenesulfonyl)-amino]-phenyl)-propyl)-pyrrolidine-2-carboxylic acid;

N-[4-[3-(2,6-dimethyl-piperidin-1-yl)-propyl]-phenyl]-N-(3-hydroxy-benzyl)-2,4,6-trimethyl-benzenesulfonamide;

N-(3-hydroxy-benzyl)-N-[4-(3-hydroxy-propyl)-phenyl]-2,4,6-trimethylbenzenesulfonamide;

N-(2-chloro-4-hydroxy-benzyl)-4-methoxy-N-[4-(2-pyrrolidin-1-yl-ethoxy)-phenyl]-benzenesulfonamide;

5 4-chloro-N-(4-hydroxy-benzyl)-N-[4-(2-pyrrolidin-1-yl-ethoxy)-phenyl]-benzenesulfonamide; and

the pharmaceutically acceptable salts, stereoisomers, and prodrugs thereof, and the pharmaceutically acceptable salts of said stereoisomers and prodrugs.

10 6. A method of treating or preventing a disease, disorder, condition, or symptom mediated by an estrogen receptor, or caused by lowered estrogen level in a mammal, which comprises administering to said mammal a therapeutically effective amount of a compound of claim 1, a pharmaceutically acceptable salt, stereoisomer, or prodrug thereof, or a pharmaceutically acceptable salt of said stereoisomer or prodrug.

15 7. A method of claim 6, wherein said disease, disorder, condition, or symptom is selected from the group consisting of female sexual dysfunction, perimenopausal or postmenopausal syndrome, osteoporosis, atrophy of skin or vagina, elevated serum cholesterol levels, cardiovascular disease, Alzheimer's disease, reduction or

20 preventing a reduction in cognitive function, an estrogen-dependent cancer, breast or uterine cancer, prostatic disease, benign prostatic hyperplasia, prostate cancer, obesity, endometriosis, bone loss, uterine fibrosis, aortal smooth muscle cell proliferation, lack of birth control, acne, hirsutism, dysfunctional uterine bleeding, dysmenorrhea, male infertility, impotence, psychological and behavioral symptoms

25 during menstruation, ulcerative mucositis, uterine fibroid disease, restenosis, atherosclerosis, musculoaponeurotic fibromatosis, alopecia, autoimmune disease, cartilage degeneration, delayed puberty, de-myelinating disease, dysmyelinating disease, hypoglycemia, lupus erythematosus, myocardial infarction, ischemia, thromboembolic disorder, obsessive compulsive disorder, ovarian dysgenesis, post-

30 menopausal CNS disorder, pulmonary hypertension, reperfusion damage, resistant neoplasm, rheumatoid arthritis, seborrhea, sexual precocity, thyroiditis, Turner's syndrome, and hyperlipidemia.

8. A method of claim 7, wherein said disease, disorder, condition, or symptom is selected from the group consisting of female sexual dysfunction, postmenopausal syndrome, osteoporosis, elevated serum cholesterol levels, and breast or uterine cancer.

5

9. A method of blocking calcium channels, inhibiting environmental estrogens, minimizing the uterotrophic effect of tamoxifen, and the analogs thereof, removing fibrin by inhibiting plasminogen activators, inhibiting estrogen-positive primary tumors of the brain and CNS, increasing sphincter competence, increasing libido, inhibiting

10 fertility, oxidizing low-density lipoprotein, increasing macrophage function, expressing thrombomodulin, and increasing levels of endogenous growth hormone in a mammal, which comprises administering to said mammal an effective amount of a compound of claim 1, a pharmaceutically acceptable salt, stereoisomer, or prodrug thereof, or a pharmaceutically acceptable salt of said stereoisomer or prodrug.

15

10. A pharmaceutical composition comprising a compound of claim 1, a pharmaceutically acceptable salt, stereoisomer, or prodrug thereof, a pharmaceutically acceptable salt of said stereoisomer or prodrug, and a pharmaceutically acceptable carrier, vehicle, or diluent.

20

11. A pharmaceutical composition comprising a compound of claim 1, a pharmaceutically acceptable salt, stereoisomer, or prodrug thereof, or a pharmaceutically acceptable salt of said stereoisomer or prodrug; one or more of sodium fluoride, estrogen, a bone anabolic agent, a growth hormone or growth

25 hormone secretagogue, a prostaglandin agonist/antagonist, a parathyroid hormone, or prodrugs thereof, or pharmaceutically acceptable salts thereof; and a pharmaceutically acceptable carrier, vehicle, or diluent.

12. A method of treating or preventing a disease, disorder, condition, or symptom

30 mediated by an estrogen receptor, or caused by lowered estrogen level in a mammal, which comprises administering to said mammal a therapeutically effective amount of a composition of claim 11.

13. A method of claim 12, wherein said disease, disorder, condition, or symptom is selected from the group consisting of female sexual dysfunction, perimenopausal or postmenopausal syndrome, osteoporosis, atrophy of skin or vagina, elevated serum cholesterol levels, cardiovascular disease, Alzheimer's disease, reduction or

5 preventing a reduction in cognitive function, an estrogen-dependent cancer, breast or uterine cancer, prostatic disease, benign prostatic hyperplasia, prostate cancer, obesity, endometriosis, bone loss, uterine fibrosis, aortal smooth muscle cell proliferation, lack of birth control, acne, hirsutism, dysfunctional uterine bleeding, dysmenorrhea, male infertility, impotence, psychological and behavioral symptoms

10 during menstruation, ulcerative mucositis, uterine fibroid disease, restenosis, atherosclerosis, musculoaponeurotic fibromatosis, alopecia, autoimmune disease, cartilage degeneration, delayed puberty, de-myelinating disease, dysmyelinating disease, hypoglycemia, lupus erythematosus, myocardial infarction, ischemia, thromboembolic disorder, obsessive compulsive disorder, ovarian dysgenesis, post-

15 menopausal CNS disorder, pulmonary hypertension, reperfusion damage, resistant neoplasm, rheumatoid arthritis, seborrhea, sexual precocity, thyroiditis, Turner's syndrome, and hyperlipidemia.

14. A method of claim 13, wherein said disease, disorder, condition, or symptom is selected from the group consisting of female sexual dysfunction, postmenopausal syndrome, osteoporosis, elevated serum cholesterol levels, and breast or uterine cancer.

15. A method of blocking calcium channels, inhibiting environmental estrogens, minimizing the uterotrophic effect of tamoxifen, and the analogs thereof, removing fibrin by inhibiting plasminogen activators, inhibiting estrogen-positive primary tumors of the brain and CNS, increasing sphincter competence, increasing libido, inhibiting fertility, oxidizing low-density lipoprotein, increasing macrophage function, expressing thrombomodulin, and increasing levels of endogenous growth hormone in a mammal,

20 which comprises administering to said mammal an effective amount of a composition

25 of claim 8.

30